

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re of Application of: Abe et al.
Application No.: 10/693,315 Examiner: Mark L. Berch
Filed: May 1, 2003 Art Unit: 1624
For: PROCESS OF PREPARING 6-ALKYLIDENE PENEM
DERIVATIVES
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APPEAL BRIEF UNDER 37 C.F.R. § 41.37

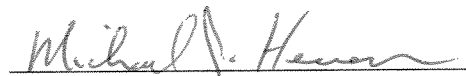

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I. REAL PARTY IN INTEREST

The real party in interest is Wyeth, the assignee of record.

II. RELATED APPEALS AND INTERFERENCES

There are no pending appeals or interferences that would directly or indirectly affect or have a bearing on the Board's decision in this appeal.

III. STATUS OF CLAIMS

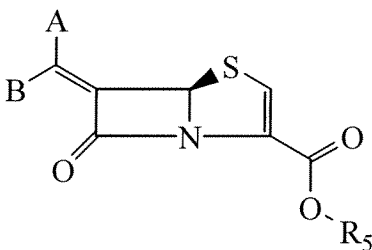
Claims 1-8, 12, 31 and 41-42 have been canceled. The remaining claims in the application, claims 9-11, 13-30 and 32-40 have been rejected and are being appealed herein.

IV. STATUS OF AMENDMENTS

Claims 9-11, 13-30 and 32-40 were finally rejected in a Final Office Action issued June 7, 2008. No amendments were filed after the final office action.

V. SUMMARY OF CLAIMED SUBJECT MATTER

1. The claimed invention is directed to a process for the preparation of compounds of the formula I



I

wherein one of A and B denotes hydrogen and the other is an optionally substituted aryl (Specification at page 4, line 1), R₅ is H, 1-6 alkyl, C₅₋₆ cycloalkyl, or CHR₃OCOC₁₋₆ alkyl (Specification at page 4, lines 9-11).

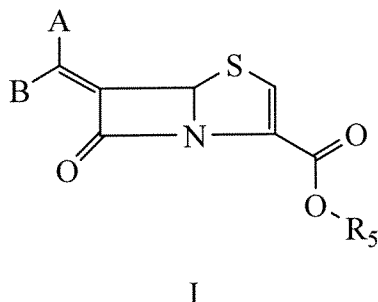
VI. GROUNDS OF REJECTION TO BE REVIEWED ON APPEAL

Whether claims 9-11, 13-30 and 32-34 are unpatentable on the basis of obviousness type double patenting over claims 1-20 of U.S. Patent No. 7,018,997 B2 (hereinafter referred to as "the '997 patent").

VII. ARGUMENTS

Claims 9-11, 13-30 and 32-34 are not subject to obviousness type double patenting over claims 1-20 of U.S. Patent No. 7,018,997 B2.

The presently claimed invention is directed to a process for the preparation of compounds of the formula I



The '997 patent claims 6-alkylidene-penem compounds, method of treatment of bacterial infection or disease and a pharmaceutical composition. The present application contains claims to a process of preparing 6-alkylidene-penem derivatives. Appellants submit that the process of preparing compounds of the present invention is not obvious from the claims compounds, method of treatment, or pharmaceutical composition of the '997 patent. The present application teaches a specific process for preparing the compounds disclosed therein. The present application does not unjustly or improperly extend the term of the '997 patent.

For a claim to be unpatentable based on obviousness-type double patenting, it must be obvious from the language of the claims in the cited patent. A claim to a compound does not make a claim to a method for making the compound obvious unless it discloses or suggests the process as a whole. If this were not true, then a compound claim would make unpatentable all claims to processes for making the compound; to the contrary, a new process for making an old compound is patentable subject matter. In the present case, the patented compound claims do not disclose or suggest the process of the pending claims.

The Examiner has cited several court decisions to support his rejection, but has misinterpreted or misapplied the case law, as is explained below.

The Examiner has cited the 1888 Supreme Court case of *Mosler Safe & Lock v. Mosler, Bahmann & Co.* However, this was a "purely mechanical case" in which the court

found that the claimed method was “an old method” which involved “no exercise of the inventive faculty”. In 1894, the Supreme Court clarified that *Mosler* must be confined to its exact facts, and stated that “a single invention may include both the machine and the manufacture it creates, and in such cases, if the inventions are really separable, the inventor may be entitled to a monopoly of each.” *Miller v. Eagle Mfg. Co.*, 151 U.S. 186, 197, 14 S.Ct. 310, 38 L.Ed. 121 (1894).

Unlike in *Mosler*, the process at issue here is not purely mechanical. Nor is there any argument that the process is not new or that the process is merely the use of an old method that requires no inventive faculty. Neither *Mosler* nor any other Supreme Court case broadly prohibits an inventor from receiving a process patent after earlier obtaining a product patent where the inventor could have presented the claims in a single patent. *Takeda Pharmaceutical Co., Ltd. v. Dudas*, 511 F.Supp.2d 81, 84 U.S.P.Q.2d 1365, (D.D.C. Sep 18, 2007).

The Examiner has cited *In re Freeman*, in which product claims were found to be obvious based on process claims. However, in that case the product was defined by the process, i.e., they were product by process claims; this is not the case here.

The Examiner also states that it does not matter whether the compound claim or the process claim is patented first. Appellants strongly disagree. A process for making compound X must disclose compound X, but a claim to compound X need not disclose a process. In the present case, the cited patent claims do not teach or suggest the presently claimed process.

The Examiner’s citation of *Ex parte MacAdams* is also not on point. The claims that were rejected were claims for a method of using a product in the manner in which the product was designed to be used. The case contains *dicta* that, “method claims drawn to the generally conventional method of making a composition are obvious.” However, there is no generally conventional process for making the compounds of the present invention. Appellant’s method was the result of extensive research, and is not obvious merely from knowledge of the compound to be made, but that is not to say that there are not other methods of producing these compounds.

The Examiner has cited additional cases (*Geneva Pharmaceuticals*, and *In re Boylan*) which are also relating to methods of using rather than methods of making, and has stated

that he cannot see any reason why these two situations should be treated differently. However, they are different. The Examiner has erroneously used a rote analysis, rather than applying the proper standard for obviousness type double patenting.

In a proper obviousness analysis, the Examiner should consider the differences between the patent claims and the pending claims and determine whether the differences are obvious from the patent claims. In this case, the process steps of the pending claims represent a significant difference from the patent claims; the Examiner has not provided any reasons to support his assertion that these process steps are obvious from the patent claims. Appellants claim a specific multi-step process. In view of the known unpredictability of the chemical arts, it is certainly not obvious from the mere knowledge of the end product.

Furthermore, it is highly likely that the compound could be made by other processes. Nothing in the compound claims would lead one of ordinary skill to the particular process claimed by Appellants.

VIII. CONCLUSION

For the reasons set forth above, Appellants request that the Board reverse the outstanding rejection, remand the application to the Examiner, and direct the Examiner to issue a Notice of Allowance.

The Director is hereby authorized to charge any fees due in connection with this Brief, or credit any overpayment of the same to Wyeth Deposit Account No. 01-1425.
Sincerely,

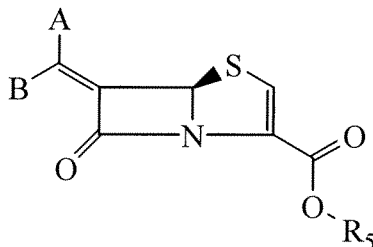


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IX. CLAIMS APPENDIX

9. A process for the preparation of compounds of the formula **I**



I

wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R₂, heteroaryl optionally substituted with one or two R₂, fused bicyclic heteroaryl optionally substituted with one or two R₂, fused tricyclic heteroaryl optionally substituted with one or two R₂, cycloalkyl optionally substituted with one or two R₂, alkyl optionally substituted with one or two R₂, alkenyl optionally substituted with one or two R₂, alkynyl optionally substituted with one or two R₂, saturated or partially saturated heteroaryl optionally substituted with one or two R₂;

R₅ is H, C₁₋₆alkyl, C₅₋₆cycloalkyl, or CHR₃OCOC₁₋₆alkyl;

R₁ is H, optionally substituted -C₁₋₆alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C₃₋₇ cycloalkyl, optionally substituted -C₃₋₆alkenyl, optionally substituted -C₁₋₆alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C₁₋₆per fluoro alkyl, -S(O)_p optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -(C=O)C₁₋₆alkyl, optionally substituted -(C=O)C₃₋₆cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C₁₋₆alkyl aryl, optionally substituted C₁₋₆ alkyl heteroaryl, optionally substituted aryl-(C₁₋₆alkyl), optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon

atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted (C₁₋₆alkyl)aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl having 1 to 2 double bonds, optionally substituted C₂₋₆alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆ alkylamino (C₁₋₆alkoxy), alkylene dioxy, optionally substituted aryloxy-C₁₋₆alkyl amine, C₁₋₆ perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆ alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C₁₋₆alkyl, C₅₋₆cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆ alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S=O)_n where n = 0-2;

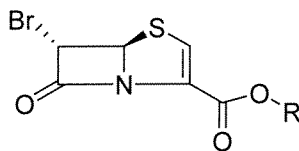
said process comprising:

(a) condensing an appropriately substituted aldehyde **17**



17

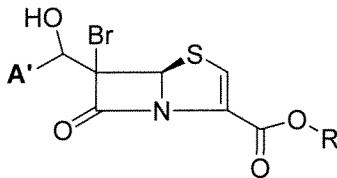
wherein A' is defined as A or B whichever one of A or B is not hydrogen,
with 6-bromo-penem derivative of structure **16**



16

wherein R is p-nitrobenzyl

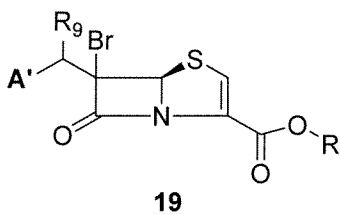
in the presence of a Lewis acid and an organic tertiary amine base, at a temperature of -10°C to -40°C to form an intermediate aldol product **18**



18

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, (R₈)Cl or (R₈)₂O, or with tetrahalomethane, C(X₁)₄, and triphenyl phosphine, to form intermediate compound **19**

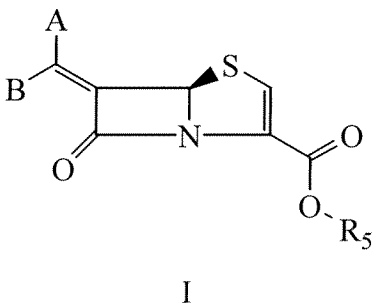


wherein R₈ is alkylSO₂, arylSO₂, alkylCO, or arylCO; X₁ is Br, I, or Cl; A' and R are as defined above; and R₉ is X₁ or OR₈; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process, wherein the reductive elimination process is carried out using activated zinc and a phosphate buffer at a pH of about 6.5 to 8.0 and hydrogenating over a catalyst.

10. The process according to claim 9 wherein the hydrogenating over a catalyst is carried out using palladium on charcoal.

11. A process for the preparation of compounds of the formula **I**



wherein:

one of A and B denotes hydrogen and the other is a fused bicyclic heteroaryl optionally substituted with one or two R₂, or a fused tricyclic heteroaryl optionally substituted with one or two R₂;

R₅ is H, C₁₋₆alkyl, C₅₋₆cycloalkyl, or CHR₃OCOC₁₋₆alkyl;

R₁ is H, optionally substituted -C₁₋₆alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C₃₋₇cycloalkyl, optionally substituted -C₃₋₆alkenyl, optionally substituted -C₁₋₆alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C₁₋₆perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -(C=O)C₁₋₆alkyl, optionally substituted -(C=O)C₃₋₆cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C₁₋₆alkyl aryl, optionally substituted C₁₋₆alkyl heteroaryl, optionally substituted aryl-(C₁₋₆alkyl), optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted (C₁₋₆alkyl)aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl having 1 to 2 double bonds, optionally substituted C₂₋₆alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆alkylamino(C₁₋₆alkoxy), alkylene dioxy, optionally substituted aryloxy-C₁₋₆alkyl amine, C₁₋₆ perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino,

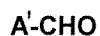
optionally substituted C₁₋₆ alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C₁₋₆alkyl, C₅₋₆cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S=(O)_n where n = 0-2;

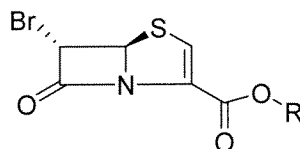
said process comprising:

- (a) condensing an aldehyde **17**



17

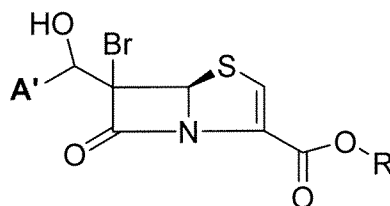
wherein A' is defined as A or B whichever one of A or B is not hydrogen,
with 6-bromo-penem derivative of structure **16**



16

wherein R is p-nitrobenzyl

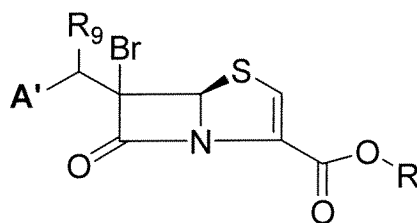
in the presence of a Lewis acid and an organic tertiary amine base, at a temperature of -10°C to -40°C to form an intermediate aldol product **18**



18

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, $(\text{R}_8)\text{Cl}$ or $(\text{R}_8)_2\text{O}$, or with tetrahalomethane, $\text{C}(\text{X}_1)_4$, and triphenyl phosphine, to form intermediate compound **19**

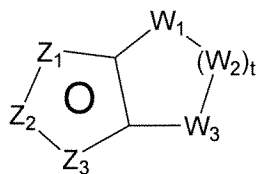


19

wherein R_8 is alkylSO_2 , arylSO_2 , alkylCO , or arylCO ; X_1 is Br, I, or Cl; A' and R are as defined above; and R_9 is X_1 or OR_8 ; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process.

13. The process according to claim 11, wherein one of A and B is a fused bicyclic heteroaryl group having the structural formula:



16-A

wherein Z_1 , Z_2 , and Z_3 are independently CR_2 , N, O, S or $N-R_1$ provided one of Z_1 , Z_2 , or Z_3 is carbon and is bonded to the remainder of the molecule as shown in formula I;

W_1 , W_2 and W_3 are independently CR_4R_4 , S, SO, SO_2 , O, $N-R_1$, $C=O$; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system; $t = 1$ to 4;

R_1 is H, optionally substituted $-C_{1-6}$ alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted $-C_{3-7}$ cycloalkyl, optionally substituted $-C_{3-6}$ alkenyl, optionally substituted $-C_{3-6}$ alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted $-C_{1-6}$ per fluoro alkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-(C=O)$ aryl, optionally substituted $-(C=O)C_{1-6}$ alkyl, optionally substituted $-(C=O)C_{3-6}$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted $-C_{1-6}$ alkyl aryl, optionally substituted $-C_{1-6}$ alkyl heteroaryl, optionally substituted aryl- $-C_{1-6}$ alkyl, optionally substituted heteroaryl- $-C_{1-6}$ alkyl, optionally substituted $-C_{1-6}$ alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl,

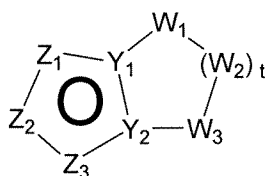
optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl having 1 to 2 double bonds, optionally substituted C₂₋₆alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆alkylamino- C₁₋₆alkoxy, alkylene dioxy, optionally substituted aryloxy- C₁₋₆alkyl amine, C₁₋₆perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₄ is H, optionally substituted C₁₋₆alkyl, one of R₄ is OH, C₁₋₆alkoxy, -S-C₁₋₆alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)_n where n =0 to 2, and N-R₁; and

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, or R₆ and R₇ together with N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S=(O)_n where n = 0-2.

14. The process according to claim 11, wherein one of A and B is a fused bicyclic heteroaryl group having the structural formula:



16-B

wherein

Z₁, Z₂ and Z₃ are independently CR₂, N, O, S or N-R₁ provided one of Z₁–Z₃ is carbon and is bonded to the remainder of the molecule;

W₁, W₂ and W₃ are independently CR₄R₄, S, SO, SO₂, O, or N-R₁;

t= 1 to 4;

Y₁ and Y₂ are independently N or C; with the proviso that at least one of Y₁ and Y₂ is C, with the proviso that if the aromatic ring portion of the bicyclic heteroaryl group is imidazole, the nonaromatic ring portion may not contain a S adjacent to the bridgehead carbon;

R₁ is H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C₅₋₇cycloalkyl, optionally substituted C₃-C₆ alkenyl, optionally substituted C₃₋₆alkynyl with the proviso that neither the double bond nor the triple bond should be present at

the carbon atom which is directly linked to N; optionally substituted C₁₋₆perfluoro alkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=O (C₁₋₆) alkyl, optionally substituted -(C=O)C₅₋₆cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C₁₋₆alkylaryl, optionally substituted C₁₋₆alkyl heteroaryl, optionally substituted aryl- C₁₋₆alkyl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkylaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

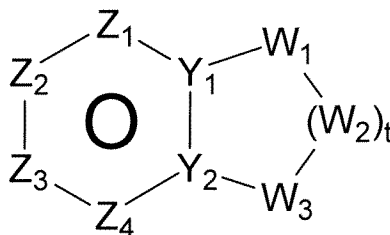
R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl, optionally substituted C₂₋₆alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆alkylamino- C₁₋₆alkoxy, alkylene dioxy, optionally substituted aryloxy- C₁₋₆alkyl amine, C₁₋₆perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl,

optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl,
optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally
substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl,
optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or
optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C₁₋₆alkyl, one of R₄ is OH, C1-C6 alkoxy, -S-C₁₋₆alkyl,
COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the
carbon to which they are attached may form a spiro system of five to eight members
with or without the presence of heteroatoms selected from N, O, S=(O)_n where n =0
to 2, and N-R₁; and

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl,
optionally substituted heteroaryl, optionally substituted C₁₋₆alkylaryl, optionally
substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆
alkylheteroaryl, or R₆ and R₇ together with the N to which they are attached, may
form a 3-7 membered saturated ring system said ring system in addition to the N to
which R₆ and R₇ are attached optionally having one or two heteroatoms selected from
N, O, or S.

15. The process according to claim 11, wherein one of A and B is a fused bicyclic heteroaryl
group having the formula:



16-C

wherein

Z_1 , Z_2 , Z_3 and Z_4 are independently CR_2 or N provided one of $Z_1 - Z_4$ is carbon and is bonded to the remainder of the molecule;

W_1 , W_2 and W_3 are independently CR_4R_4 , S, SO, SO_2 , O, or N- R_1 ; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

$t = 1$ to 4;

Y_1 and Y_2 are each;

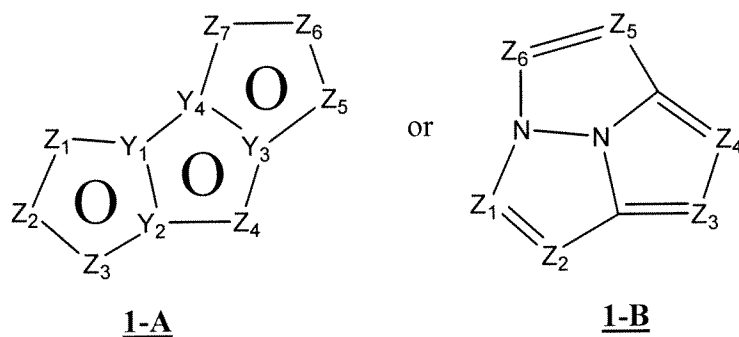
R_1 is H, optionally substituted C_{1-6} alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C_{5-7} cycloalkyl, optionally substituted C_{3-6} alkenyl, optionally substituted C_{3-6} alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C_{1-6} perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-(C=O)C_{1-6}$ alkyl, optionally substituted $-C=O(C_{5-6})$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C_{1-6} alkylaryl, optionally substituted C_{1-6} alkyl heteroaryl, optionally substituted aryl- C_{1-6} alkyl, optionally substituted heteroaryl- C_{1-6} alkyl, optionally substituted C_{1-6} alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6} alkylaryloxyaryl, optionally substituted C_{1-6} alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl, optionally substituted C₂₋₆alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆alkylamino- C₁₋₆alkoxy, alkylene dioxy, optionally substituted aryloxy-C₁₋₆alkyl amine, C₁₋₆perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C₁₋₆alkyl, one of R₄ is OH, C₁₋₆alkoxy, -S-C₁₋₆alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)_n where n =0 to 2, and N-R₁; and

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkylheteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N, O, or S.

16. The process according to claim 11, wherein one of A and B is a fused tricyclic heteroaryl group having the formula:



wherein Z₁, Z₂, Z₃, Z₄, Z₅, Z₆ and Z₇ are independently CR₂, N, O, S or N-R₁ provided one of Z₁ - Z₇ is a carbon atom to which the remainder of the molecule is attached;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C₁₋₆alkylaryl, optionally substituted C₁₋₆alkyl heteroaryl, optionally substituted aryl-C₁₋₆alkyl, optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkylaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

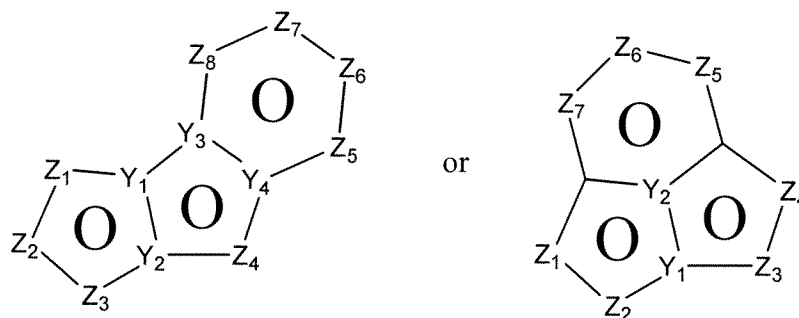
R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl, optionally substituted C₂₋₆alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₁₋₆ alkenyloxy, optionally substituted C₃₋₆ alkynyloxy, C₁₋₆alkylamino-C₁₋₆alkoxy, alkylenedioxy, optionally substituted aryloxy- C₁₋₆alkyl amine, C₁₋₆perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2;

Y₁ and Y₂ may independently be C or N; with the proviso that in formula 1-A, at least one of Y₁ and Y₂ is C; and

Y₃ is C and Y₄ is C or N, or Y₄ is C and Y₃ is C or N, or Y₃ is N and Y₄ is C, or Y₃ is C and Y₄ is N.

17. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



2-A

2-B

wherein Z_1 , Z_2 , Z_3 , and Z_4 are independently CR_2 , N, O, S or $N-R_1$; Z_5 , Z_6 , Z_7 and Z_8 are independently CR_2 or N; provided one of the $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -
S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -
C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -
C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C_{1-6} alkylaryl, optionally substituted C_{1-6} alkylheteroaryl, optionally substituted aryl- C_{1-6} alkyl, optionally substituted heteroaryl- C_{1-6} alkyl, optionally substituted C_{1-6} alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -
CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl,

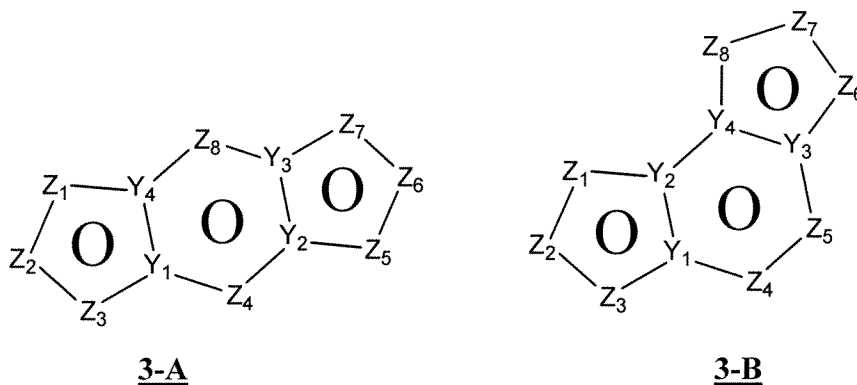
optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkylaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl, optionally substituted C₂₋₆alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆ alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆alkylamino-C₁₋₆alkoxy, alkylenedioxy, optionally substituted aryloxy-C₁₋₆ alkyl amine, C₁₋₆ perfluoro alkyl, S(O)_q- optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

Y_1 and Y_2 are independently C or N; Y_3 and Y_4 are C; provided that in formula 2A, at least one of Y_1 and Y_2 is C; and provided that in formula 2-B, Y_2 is C, Y_1 is C or N, Y_3 is C and Y_4 is C or N, or Y_3 is N and Y_4 is C.

18. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein in formula 3-A, Z_1 , Z_2 , Z_3 , Z_5 , Z_6 , and Z_7 are independently CR_2 , N, O, S or $N-R_1$; and in formula 3-A, Z_4 and Z_8 are independently CR_2 or N; in formula 3-B, Z_1 , Z_2 , Z_3 , Z_6 , Z_7 , and Z_8 are independently CR_2 , N, O, S or $N-R_1$; and in formula 3-B, Z_4 and Z_5 are independently CR_2 or N; provided one of $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

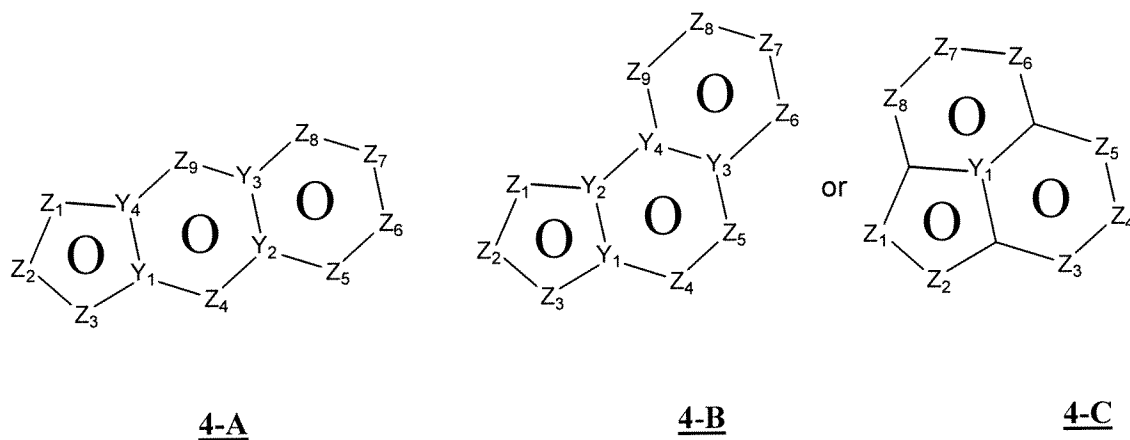
R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or

bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-\text{CONR}_6\text{R}_7$, $-\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $\text{N-R}_6\text{R}_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR_6 , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q -optionally substituted C1-C6 alkyl, S(O)_q -optionally substituted aryl where q is 0, 1 or 2, CONR_6R_7 , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and
Y₁, Y₂, Y₃ and Y₄ are C.

19. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein Z₁, Z₂, and Z₃, are independently CR₂, N, O, S or N-R₁; and Z₄, Z₅, Z₆, Z₇, Z₈ and Z₉ are independently CR₂ or N; provided one of the Z₁ – Z₉ is a carbon atom to which the remainder of the molecule is attached; provided that in formula 4-C, Z₃ cannot be O, S or N-R₁;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally

substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

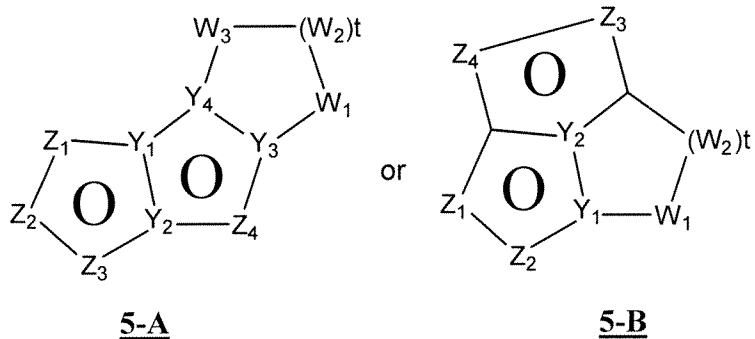
R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted

heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are C.

20. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein Z₁, Z₂, Z₃ and Z₄ are independently CR₂, N, O, S or N-R₁ provided one of Z₁ - Z₄ is a carbon atom to which the remainder of the molecule is attached;

Y₁, Y₂, Y₃ and Y₄ are independently C or N; provided that in formula 5-A, at least one of Y₁ and Y₂ is C; and provided that in formula 5-B, Y₁ and Y₂ are C;

W₁, W₂ and W₃ are independently CR₄R₄, S(O)_r where r is 0-2, O, or N-R₁ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q-optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted

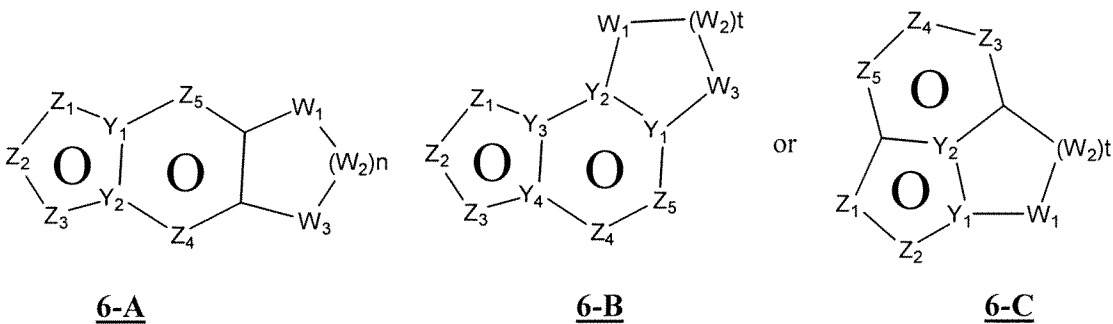
C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, $\text{S}(\text{O})_n$ where $n = 0$ to 2, N-R_1 ;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1 , O, and $\text{S}(\text{O})_n$ where $n = 0-2$; and

$t = 1$ to 3.

21. The process according to claim 11, wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein Z_1, Z_2, Z_3 , are independently CR_2, N, O, S or $N-R_1$; Z_4 and Z_5 are CR_2 or N ; provided one of Z_1-Z_5 is a carbon atom to which the remainder of the molecule is attached; provided that in formula 6-C, Z_3 cannot be O, S or $N-R_1$;

Y_1 is independently C or N ; provided that in formula 6-A and 6-B, Y_1 is C ; Y_2, Y_3 and Y_4 are C ;

W_1, W_2 and W_3 are independently $CR_4R_4, S(O)_r$ where $r = 0-2, O$, or $N-R_1$ with the proviso that no $S-S, S-O$ or $O-O$ bond formation can occur to form a saturated ring;

R_1 is H , optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N ; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is $0-2$, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted $C1-C6$ alkylaryl, optionally substituted $C1-C6$ alkylheteroaryl, optionally substituted aryl- $C1-C6$ alkyl, optionally substituted heteroaryl- $C1-C6$ alkyl, optionally substituted $C1-C6$ alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted

C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

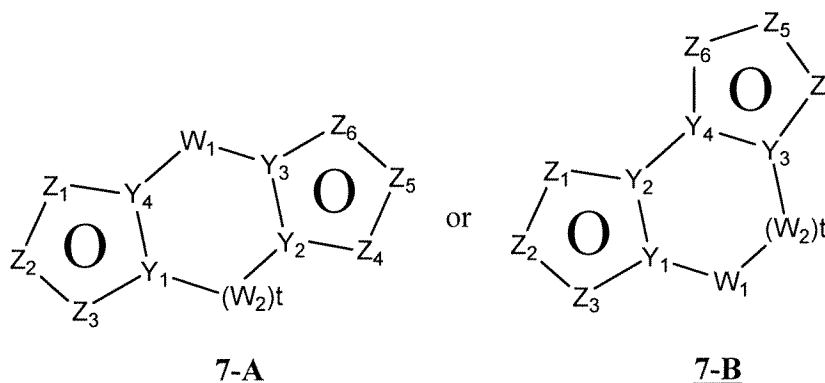
R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2, N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl,

optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and $S(O)_n$ where $n = 0-2$; and $t = 1$ to 3.

22. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein Z_1, Z_2, Z_3, Z_4, Z_5 and Z_6 are independently CR_2 , N, O, S, and N- R_1 ; provided one of $Z_1 - Z_6$ is a carbon atom to which the remainder of the molecule is attached;

Y_1, Y_2, Y_3 and Y_4 are independently C or N; with the proviso that in formula 7-A at least one of Y_1 and Y_4 is C, and Y_3 is C and Y_4 is C or N, or Y_4 is C and Y_3 is C or N, or Y_3 is N and Y_4 is C, or Y_3 is N and Y_4 is C; and with the proviso that in formula 7-B at least one of Y_1 and Y_2 is C and at least one of Y_3 and Y_4 is C;

W_1 and W_2 are independently CR_4R_4 , $S(O)_r$ where $r = 0-2$, O, N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl,

optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl

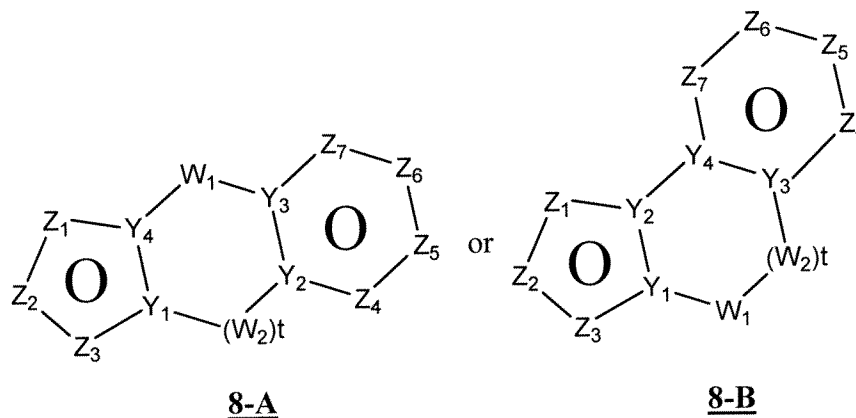
aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n where n = 0 to 2, N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

t = 1 to 3.

23. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein

Z₁, Z₂, Z₃, are independently CR₂, N, O, S or N-R₁; Z₄, Z₅, Z₆ and Z₇ are independently CR₂, N; provided one of the Z₁ - Z₇ is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_4 are independently C or N; Y_2 and Y_3 are C; provided that in formula 8A at least one of Y_1 and Y_4 is C; and provided that in formula 8-B Y_4 is C;

W_1 and W_2 are independently CR_4R_4 , $S(O)_r$, where $r=0-2$, O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6

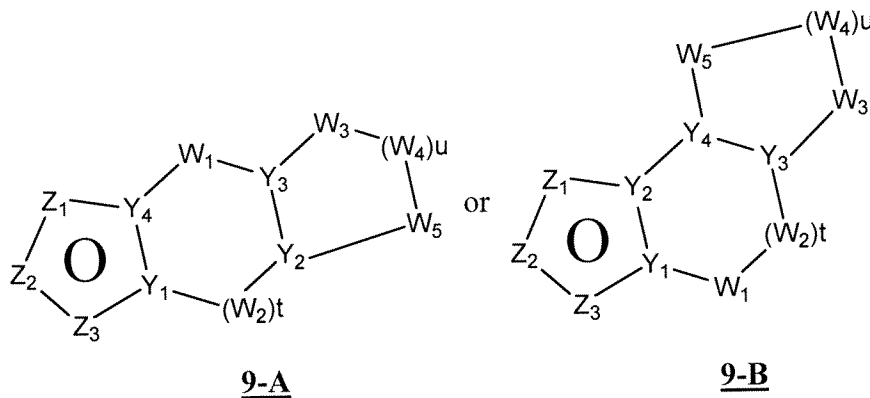
perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2, N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

t = 0-3.

24. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein Z_1 , Z_2 and Z_3 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_3$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_4 are independently C or N;

Y_2 and Y_3 are independently CH or N; with the proviso that in formula 9-A at least one of Y_1 and Y_4 is C; and with the proviso that in formula 9-B at least one of Y_1 and Y_2 is;

W_1 , W_2 , W_3 , W_4 and W_5 are independently CR_4R_4 , $S(O)_r$ where $r=0-2$, O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -
 $S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -
 $C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted -
 $C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally

substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n =0 to 2, N-R₁;

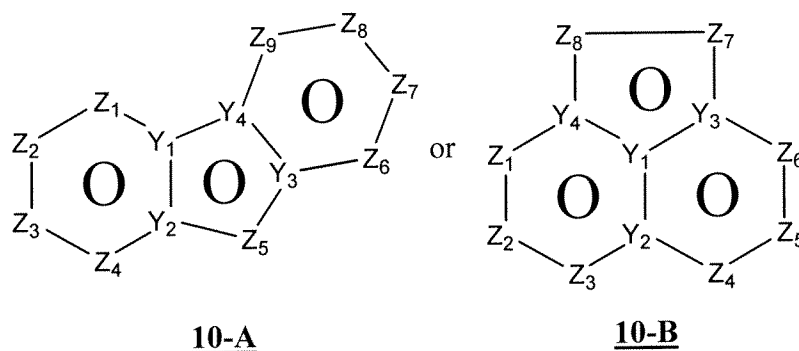
R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally

substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2;

t = 0 to 2; and

u = 1 to 3.

25. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein

Z₁, Z₂, Z₃, Z₄, Z₅, Z₆, Z₇, Z₈ and Z₉ are independently CR₂, N, O, S or N-R₁ provided one of the Z₁ – Z₉ is a carbon atom to which the remainder of the molecule is attached; provided that Z₁, Z₂, Z₃, Z₄, Z₅, Z₆, Z₇, Z₈ and Z₉ are not O, S or N-R₁ in formula 10-A, and provided that Z₁, Z₂, Z₃, Z₄, Z₅, Z₆, Z₇, Z₈ are not O, S or N-R₁ in formula 10-B;

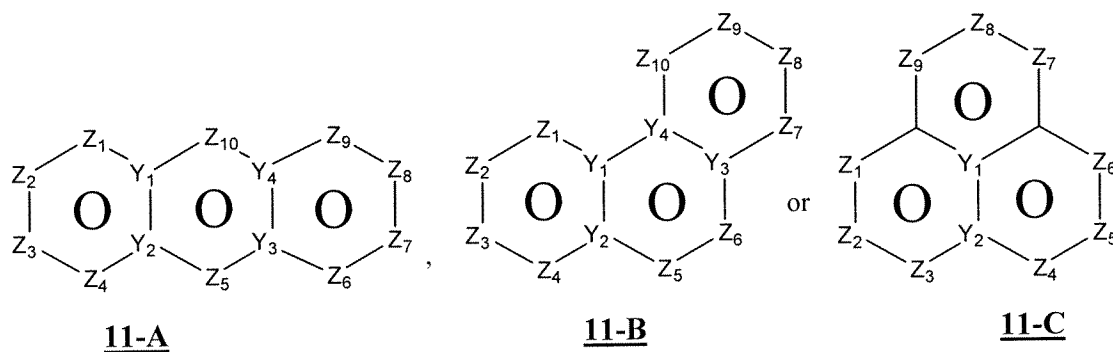
R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6

alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and
Y₁, Y₂, Y₃ and Y₄ are C.

26. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein Z₁, Z₂, Z₃, Z₄, Z₅, Z₆, Z₇, Z₈, Z₉ and Z₁₀ are independently CR₂ or N provided one of Z₁-Z₁₀ is a carbon atom to which the remainder of the molecule is attached;

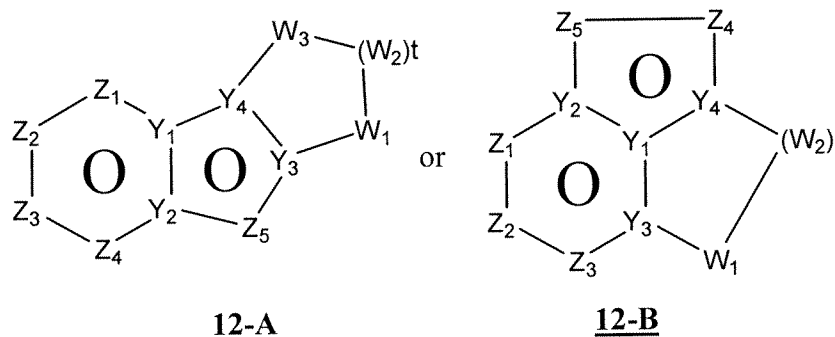
R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally

substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and
Y₁, Y₂, Y₃ and Y₄ are C.

27. The process according to claim 11 wherein one of A and B is tricyclic heteroaryl group having the formula:



wherein Z₁, Z₂, and Z₃, are independently CR₂ or N; Z₄ and Z₅ are independently CR₂, N, O, S or N-R₁ provided that one of Z₁ – Z₅ is a carbon atom to which the remainder of the molecule is attached; provided that in formula 12-A, Z₄ is not O, S or N-R₁;

Y₁, and Y₂ are C; Y₃ and Y₄ are independently C or N; provided that in formula 12-B Y₃ is C; and in formula 12-A, Y₃ is C and Y₄ is C or N, Y₄ is C and Y₃ is C or N, or Y₃ is N and Y₄ is C, or Y₃ is C and Y₄ is N;

W₁, W₂, W₃ are independently CR₄R₄ O, N-R₁, or S=(O)_r where r = 0-2 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom

which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted

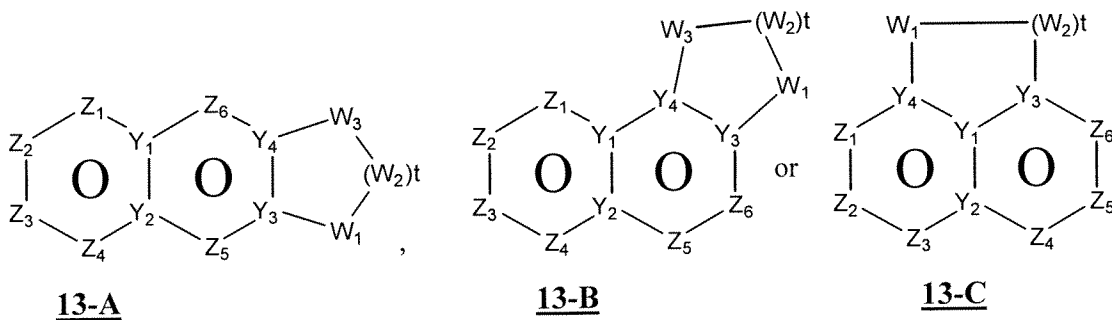
heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2, N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

t = 1-4.

28. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein Z₁, Z₂, Z₃, Z₄, Z₅ and Z₆ are independently CR₂ or N provided one of Z₁-Z₆ is a carbon atom to which the remainder of the molecule is attached;

Y₁, Y₂, Y₃ and Y₄ are C;

W_1 , W_2 and W_3 are independently CR_4R_4 , $S(O)_r$ where r is 0-2, O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ - optionally

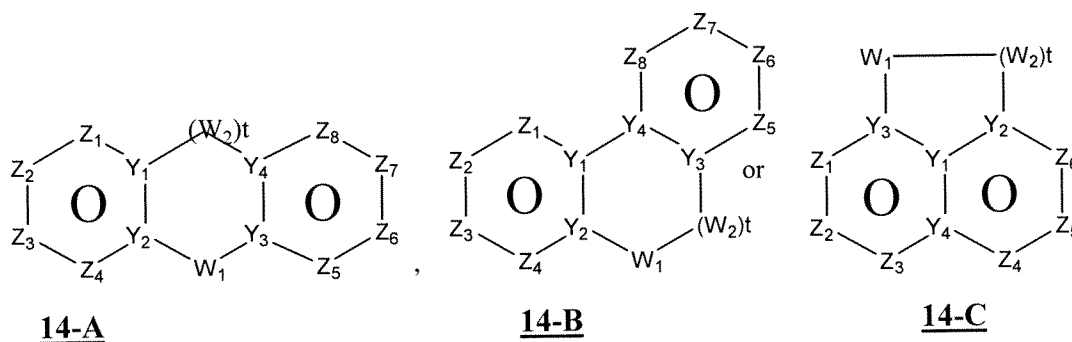
substituted aryl where q is 0, 1 or 2, CONR_6R_7 , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, $-\text{S}-\text{C1-C6 alkyl}$, COOR_6 , $-\text{NR}_6\text{R}_7$, $-\text{CONR}_6\text{R}_7$; or R_4R_4 may together be $=\text{O}$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, $\text{S}(\text{O})_n$ where $n = 0$ to 2, or $\text{N}-\text{R}_1$;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from $\text{N}-\text{R}_1$, O, and $\text{S}(\text{O})_n$ where $n = 0-2$; and

$t = 1$ to 3.

29. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein $Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7$ and Z_8 are independently CR_2 or N provided one of $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

Y_1, Y_2, Y_3 and Y_4 are C;

W_1 , and W_2 are independently CR_4R_4 , $S(O)_r$ where $r = 0-2$, O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines,

optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

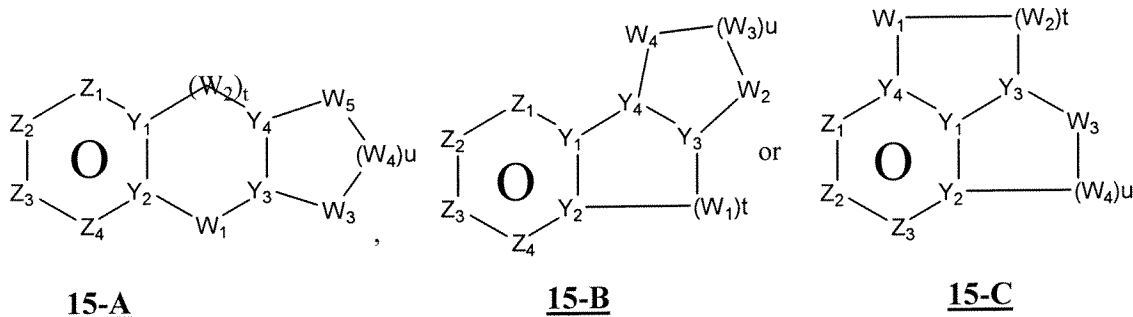
R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2, N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition

to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and $S(O)_n$ where $n = 0-2$; and

$t = 1$ to 2.

30. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



wherein Z_1 , Z_2 , Z_3 and Z_4 are independently CR_2 or N provided one of $Z_1 - Z_4$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 , and Y_2 are C; Y_3 and Y_4 are independently C or N; provided that in formula 15-C Y_4 is C;

W_1 , W_2 , W_3 , W_4 and W_5 are independently CR_4R_4 , $S(O)_r$ where $r = 0-2$, O, or N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-$

alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q-optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

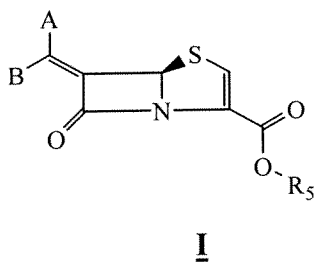
R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2, or N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2;

t = 1 to 3; and

u = 1 to 3.

32. A process for the preparation of compound of formula **I**



wherein

one of A and B denotes hydrogen and the other is aryl optionally substituted with one or two R₂, heteroaryl optionally substituted with one or two R₂, a fused bicyclic heteroaryl optionally substituted with one or two R₂, fused tricyclic heteroaryl optionally substituted with one or two R₂, cycloalkyl optionally substituted with one or two R₂, alkyl optionally substituted with one or two R₂, alkenyl optionally substituted with one or two R₂, alkynyl optionally substituted with one or two R₂, saturated or partially saturated heteroaryl optionally substituted with one or two R₂;

R₅ is H, C₁₋₆ alkyl, C₅₋₆ cycloalkyl, or CHR₃OCOC₁₋₆ alkyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple

bonds, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 –C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q- optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C1-C6 alkyl, C3 – C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S=(O)_n where n = 0-2;

which process comprises the following steps:

(a) dissolving 6-aminopenicillanic acid in an organic solvent and water to form in the

- (b) presence of hydrobromic acid and sodium or potassium nitrite solution to form the 6-bromo derivative 21 and converting the 6-bromopenicillanic acid 21 derivative to the p-Nitrobenzyl 6-bromopenicillanate 22 using 4-nitrobenzylbromide in the presence of base in an organic solvent;
- (b) oxidizing the 4-nitrobenzyl 6-bromopenicillanate 22 to form 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23
- (c) refluxing the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 with 2-mercaptobenzothiazole in an aromatic solvent to form 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24
- (d) dissolving the 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24 in an organic solvent and reacting with an organic tertiary amine base to form 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25
- (e) converting the 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25 to 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 by reacting in an aromatic organic solvent in the presence of an organic acid, acetic anhydride/organic tertiary amine base and trialkyl or triaryl phosphine at about -10°C to -30°C ;
- (f) said 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 being taken up in an organic solvent at -70°C to -90°C and ozonized oxygen being passed through it for at least 3 hours followed by intramolecular cyclization using a phosphite reagent to form 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16;
- (g) converting said 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16 to the desired formula I product as described in claim 9.

33. The process according to claim 32 wherein the 6-aminopenicillanic acid is dissolved in methanol or THF.

34. The process according to claim 32 wherein step (a) is performed in the presence of 48% w/w hydrobromic acid and sodium or potassium nitrite solution.
35. The process according to claim 34 wherein step (a) is performed at -10°C to -30°C .
36. The process according to claim 32 wherein the base in step (a) is sodium or potassium carbonate and the organic solvent is THF or DMF.
37. The process according to claim 32 wherein the aromatic solvent in step (c) is toluene or xylene.
38. The process according to claim 32 comprising the sequential conversion of compound 23 to 26 wherein there is no isolation of the intermediates.
39. The process according to claim 38 wherein the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 is reacted with mercaptobenzothiazole in refluxing aromatic organic solvent and is treated with triethylamine at about 0 to -20°C to form a reaction mixture; said reaction mixture is charged with an organic acid and an anhydride, an organic tertiary amine base and a trialkyl or triaryl phosphate sequentially at about -10°C to -40°C .
40. (Original) The process according to claim 32 wherein step (g) is carried out without isolating the aldol intermediate.

X. EVIDENCE APPENDIX

None

XI. RELATED PROCEEDING APPENDIX

None